Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	7427	544/238, 544/333, 544/362, 546/118, 514/303, 514/256, 514/252.04, 514/253.04	US-PGPUB; USPAT	OR	OFF	2006/01/11 16:50

KM

Day : Wednesday

Date: 1/11/2006
Time: 16:48:18

PALM INTRANET

Inventor Information for 10/817472

Inventor Name	City	State/Country
JANSSENS, FRANS EDUARD	BONHEIDEN	BELGIUM
MEERSMAN, KATHLEEN PETRUS MARIE-JOSE	WECHELDERZANDE	BELGIUM
SOMMEN, FRANCOIS MARIA	WORTEL	BELGIUM
ANDRIES, KOENRAAD JOZEF LODEWIJK MARCEL	BEERSE	BELGIUM

Appln Info | Contents | Petition Info | Atty/Agent Info | Continuity Data | Foreign Data

Search Another: Application# [ ] Search **or Patent#** [ ] Search

PCT / [ ] / [ ] Search **or PG PUBS #** [ ] Search

Attorney Docket # [ ] Search

Bar Code # [ ] Search

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Back to PALM | ASSIGNMENT | OASIS | Home page

ring bonds :
1-2 1-5 2-3 2-31 3-4 3-31 4-5
exact/norm bonds :
1-2 1-5 1-32 2-3 2-31 3-4 3-31 4-5 6-7 7-8 8-9 10-11 11-12 12-13
14-15 15-16 16-17 18-19 19-20 20-21 32-33 32-35

G1:[*1-*2],[*3-*4],[*5-*6],[*7-*8]

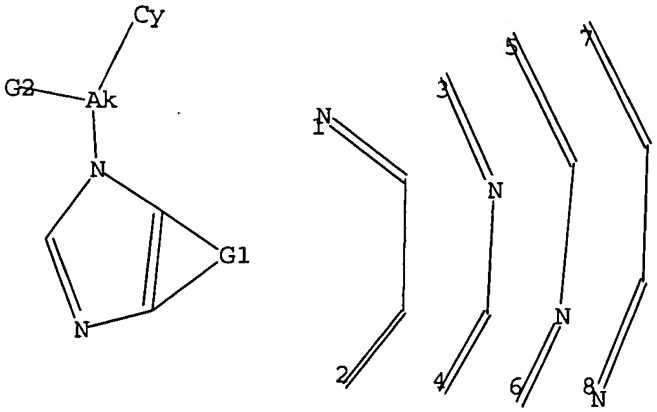
G2:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS
18:CLASS 19:CLASS 20:CLASS 21:CLASS 31:Atom 32:CLASS 33:Atom 35:CLASS
Generic attributes :
32:
Saturation : Saturated

Element Count :
Node 32: Limited
C,C1-10

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 [@1-@2],[@3-@4],[@5-@6],[@7-@8]
G2 O,S

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 4749 TO ITERATE

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 42.1% PROCESSED     2000 ITERATIONS                                 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        90848 TO    99112
PROJECTED ANSWERS:               1 TO      139

L2            1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 16:09:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     95653 TO ITERATE

100.0% PROCESSED    95653 ITERATIONS                                41 ANSWERS
SEARCH TIME: 00.00.03

L3           41 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   166.94     167.15

FILE 'CAPLUS' ENTERED AT 16:09:17 ON 11 JAN 2006
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FILE LAST UPDATED: 10 Jan 2006  (20060110/ED)

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=> s l3
L4           15 L3

=> d ibib abs hitstr tot
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L4 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:493478 CAPLUS
DOCUMENT NUMBER: 143:43875
TITLE: Preparation of hydroxylamine and oxime substituted imidazoquinolines, imidazopyridines, and imidazonaphthyridines as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases
INVENTOR(S): Krepski, Larry R.; Dellaria, Joseph F., Jr.; Duffy, Daniel E.; Amos, David T.; Zimmermann, Bernhard M.; Squire, David J.; Marszalek, Gregory J.; Heppner, Philip D.; Kshirsagar, Tushar A.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCT Int. Appl., 305 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

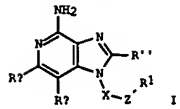
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051324	A2	20050609	WO 2004-US39673	20041124
WO 2005051324	A3	20050609		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:	US 2003-524961P	P 20031125
	US 2004-580139P	P 20040616
	US 2004-581293P	P 20040618

OTHER SOURCE(S): MARPAT 143:43875
GI

L4 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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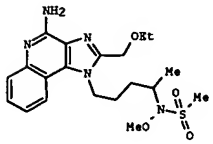


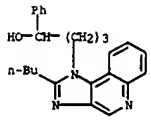
II

AB Title compds. [I; Z = -C(:N-OR2)- or CH-N(OR2)(YR3); X = CHR9,-CH(R9)-alk(en)ylene-, etc.; R9 = H, alkyl; R1 = H, (un)substituted alkyl, alkylene/hetero/aryl, etc.; R2, R3 = independently H, (un)substituted alk(en)yl, hetero/aryl, hetero/arylalkylenyl, etc.; Y = a bond, C:O, C:S, SO2, etc.; RA, RB = independently H, halo, alk(en)yl, etc.; RACCRB = (un)substituted fused hetero/aryl, fused 5-7-membered saturated ring], were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, reacting 5-[4-Amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]pentan-2-one with NH2OH-HCl in the presence of NaBH3CN/AcOH/EtOH, and substitution with mesyl anhydride gave imidazoquinoline II (m.p. = 146-148°). Certain I may modulate cytokine biosynthesis by inhibiting production of tumor necrosis factor TNF-α when tested in mouse cells (no data).

IT 853010-67-0P, 4-(2-Butyl-1H-imidazo[4,5-c]quinolin-1-yl)-1-phenylbutan-1-ol
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of hydroxylamine and oxime substituted imidazoquinolines, imidazonaphthyridines, and imidazopyridines as inducers of cytokine biosynthesis for treatment of viral and neoplastic disease)

RN 853010-67-0 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-1-butanol, 2-butyl-α-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

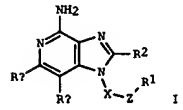
ACCESSION NUMBER: 2005:490270 CAPLUS
DOCUMENT NUMBER: 143:26611
TITLE: Preparation of oxime substituted imidazo-containing compounds, particularly imidazoquinolines, as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases
INVENTOR(S): Krepski, Larry R.; Dellaria, Joseph F., Jr.; Duffy, Daniel E.; Radmer, Matthew R.; Amos, David T.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051317	A2	20050609	WO 2004-US39512	20041124

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:	US 2003-524961P	P 20031125
	US 2004-580139P	P 20040616

OTHER SOURCE(S): MARPAT 143:26611
GI



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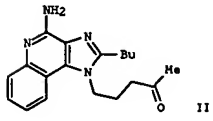


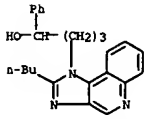
II

L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

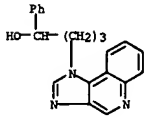
AB Title compds. [I; X = alkylene optionally interrupted by one or more -O-; Z = C:O, -C(:O)O-, -C(OR3)2-; R1 = H, (un)substituted alkyl, alkylene/aryl, alkylene/heteroaryl; Q = O, S; R3 = (un)substituted alkyl, alkylene/aryl, alkylene/heteroaryl; R2 = H, (un)substituted alk(en/yn)yl, hetero/aryl, alkylenealkyl, etc.; RA, RB = independently H, halo, alk(en)yl, alkoxy, alkylthio, NH2 and derivs.; or RACCRB = (un)substituted fused aryl ring or fused 5-7-membered saturated ring; and their pharmaceutically acceptable salts], were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, II was prepared by reacting 4-(2-Butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyraldehyde (preparation given) with MeMgBr, followed by oxidation, reductive amination of the ketone, oxidation with m-CPBA/reaction with NH4OH. I have been found to induce cytokine biosynthesis by inhibiting production of tumor necrosis factor TNF-α when tested on an in vitro human blood cell system (no data).

IT 853010-67-0P, 4-(2-Butyl-1H-imidazo[4,5-c]quinolin-1-yl)-1-phenylbutan-1-ol 853010-80-7P, 4-(1H-Imidazo[4,5-c]quinolin-1-yl)-1-phenylbutan-1-ol
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of oxime substituted imidazoquinolines as inducers of cytokine biosynthesis for treatment of viral and neoplastic disease)

RN 853010-67-0 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-1-butanol, 2-butyl-α-phenyl- (9CI) (CA INDEX NAME)



RN 853010-80-7 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-1-butanol, α-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:892446 CAPLUS
DOCUMENT NUMBER: 139:364934
TITLE: Preparation of aryl ether substituted imidazoquinolines as immune response modifiers
INVENTOR(S): Heppner, Philip D.; Charles, Leslie J.; Dellaria, Joseph F.; Merrill, Bryon A.; Mickelson, John W.
PATENT ASSIGNEE(S): 3M Innovative Properties Co., USA
SOURCE: U.S. Pat. Appl. Publ., 97 pp., Cont.-in-part of U.S. Ser. No. 13,202.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003212092	A1	20031113	US 2002-165750	20020607
US 6677348	B2	20040113		
US 2003212091	A1	20031113	US 2001-13202	20011206
US 6670372	B2	20031230		
EP 1541572	A1	20050615	EP 2005-4019	20011206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI, CY, TR				
US 2004072858	A1	20040415	US 2003-675833	20030930
US 2004106640	A1	20040603	US 2003-696753	20031029
US 6953804	B2	20051011		
US 2004138248	A1	20040715	US 2003-696108	20031029
US 2005148619	A1	20050707	US 2005-69033	20050228
US 2005209267	A1	20050922	US 2005-132537	20050519
US 2005234088	A1	20051020	US 2005-132900	20050519
PRIORITY APPLN. INFO.:			US 2000-254218P	P 20001208
			US 2001-13202	A2 20011206
			EP 2001-987297	A3 20011206
			US 2001-11921	A1 20011206
			US 2001-12599	A1 20011206
			US 2001-13059	A1 20011206
			US 2001-13060	A1 20011206
			US 2002-165750	A1 20020607
			US 2003-680989	A3 20031007
			US 2003-696476	A3 20031029
			US 2003-696684	A3 20031029

OTHER SOURCE(S): MARPAT 139:364934
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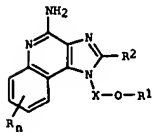


I

AB The title compds. [I; X = (CH2)2, CHEtCH2, etc.; R1 = alkenyl, aryl,

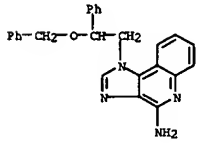
L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
R4-aryl; R2 = H, alkyl, alkenyl, etc.; R4 = alkyl, alkenyl which may be interrupted by one or more O atoms; R3 = H, alkyl; n = 0-4; R = alkyl, alkoxy, OH, etc.] that contain ether and aryl or alkenyl functionality at the 1-position, and are useful as immune response modifiers, were prepd. E.g., a multi-step synthesis of I [X = (CH2)2; R1 = CH2C.tplbond.CH; R2 = H; n = 0] which showed the lowest effective concn. of 0.12 μM and 1.11 μM to induce biosynthesis of interferon α and TNFα in human cells, resp., was given. The compds. I can induce the biosynthesis of various cytokines and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases. The pharmaceutical compn. comprising the compd. I is claimed.

IT 437601-19-9P 437601-21-3P 437601-23-5P 437601-25-7P 437601-27-9P 437602-19-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl ether substituted imidazoquinolines as immune response modifiers)

RN 437601-19-9 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-phenyl-2-(phenylmethoxy)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 437601-18-8
CMF C25 H22 N4 O



CM 2

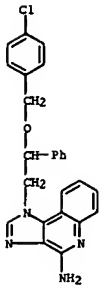
CRN 76-05-1
CMF C2 H F3 O2

RN 437601-21-3 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-[(4-chlorophenyl)methoxy]-2-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 1

CRN 437601-20-2
CMF C25 H21 Cl N4 O



CM 2

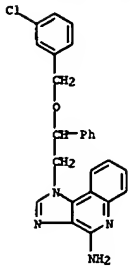
CRN 76-05-1
CMF C2 H F3 O2

RN 437601-23-5 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-[(3-chlorophenyl)methoxy]-2-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 437601-22-4
CMF C25 H21 Cl N4 O

L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

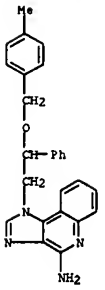
CRN 76-05-1
CMF C2 H F3 O2

RN 437601-25-7 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-[(4-methylphenyl)methoxy]-2-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 437601-24-6
CMF C26 H24 N4 O

L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

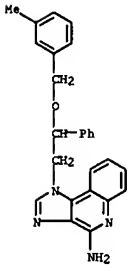
CRN 76-05-1
CMF C2 H F3 O2

RN 437601-27-9 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-[(3-methylphenyl)methoxy]-2-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 437601-26-8
CMF C26 H24 N4 O

L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



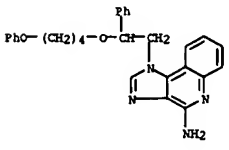
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 437602-19-2 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-(4-phenoxybutoxy)-2-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 437602-18-1
CMF C28 H28 N4 O2



L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CM 2

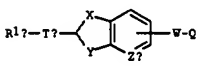
CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:434545 CAPLUS
DOCUMENT NUMBER: 139:22218
TITLE: Preparation of bicyclic heterocyclic derivatives as inhibitors of receptor-type tyrosine kinase HER2 protein
INVENTOR(S): Oda, Tsuneo; Imada, Takashi; Naito, Kenichiro; Tamura, Toshiya; Furuya, Shuichi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 278 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045929	A1	20030605	WO 2002-JP12264	20021125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003221386	A2	20030805	JP 2002-341477	20021125
EP 1460067	A1	20040922	EP 2002-783611	20021125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2005101647	A1	20050512	US 2003-498461	20021125
PRIORITY APPLN. INFO.:			JP 2001-359753 A	20011126
			WO 2002-JP12264 W	20021125

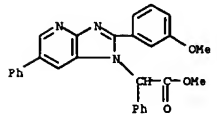
OTHER SOURCE(S): MARPAT 139:22218
GI



I

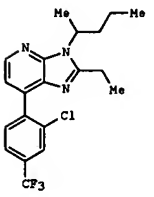
AB Heterocyclic compds. such as 1H-imidazo[4,5-b]pyridine and benzoxazole derivs. represented by the general formula (I) [wherein R1b = each (un)substituted C6-10 aryl, C3-8 cycloalkyl, or heterocyclyl; Ta = a single bond, C1-6 alkylene, CH2O, OCH2, CH2S, SCH2, CH2CH2, CH:CH; X and Y are the same or different and each represents optionally substituted nitrogen, O, or S; the broken lines each indicates a single bond or double bond; Za = N, CH; W = a single bond, O, N, S; Q = each (un)substituted C6-10 aryl or aromatic heterocyclic group] or salts of the compds. are prepared

L4 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
The compds. I and salts thereof have excellent tyrosine kinase inhibitory activity, selectively inhibit the proliferation of cancer cells expressing HER2 protein which is an erbB/HER type 1 tyrosine kinase receptor, and are useful for the treatment of cancer, in particular breast cancer, prostate cancer, lung cancer, and pancreatic carcinoma. Thus, a mixt. of 6-bromo-2-(3-methoxyphenyl)-1H-imidazo[4,5-b]pyridine 21.3, phenylboronic acid 22.2, tetrakis(triphenylphosphine)palladium(0) 7.60 g, 2 M aq. sodium carbonate soln. 175, toluene 525, and THF 175 mL was stirred at 70° for 214 h to give, after workup and recrystn. from CHCl3-MeOH, 66% 2-(3-methoxyphenyl)-6-phenyl-1H-imidazo[4,5-b]pyridine (II). II showed IC50 of 0.12 and 0.28 μM for inhibiting the proliferation of human breast cancer cells SK-BR-3 and BT-474 each expressing HER2 protein, resp. (vs. IC50 of >25 μM against human normal fibroblast MRC-5). A gelatin capsule, a sugar-coated tablet, and a tablet formulation contg. I were described.
IT 537024-83-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic heterocyclic derivs. as inhibitors of tyrosine kinase receptor HER2 protein for treatment of cancer)
RN 537024-83-2 CAPLUS
CN 1H-Imidazo[4,5-b]pyridine-1-acetic acid, 2-(3-methoxyphenyl)-α,6-diphenyl-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

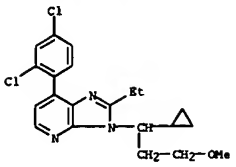
L4 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:924995 CAPLUS
DOCUMENT NUMBER: 138:321195
TITLE: Imidazo[4,5-b]pyridines as corticotropin releasing factor receptor ligands
AUTHOR(S): Arvanitis, Argyrios G.; Rescinito, Joseph T.; Arnold, Charles R.; Wilde, Richard G.; Cain, Gary A.; Sun, Jung Hui; Yan, Jia-Sheng; Teleha, Christopher A.; Fitzgerald, Lawrence W.; McElroy, John; Zaczek, Robert; Hartig, Paul R.; Grossman, Scott; Arneric, Stephen P.; Gilligan, Paul J.; Olson, Richard E.; Robertson, David W.
CORPORATE SOURCE: Discovery Chemistry-Wilmington, Bristol-Myers Squibb Company, Experimental Station, Wilmington, DE, 19880, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(1), 125-128
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:321195
GI



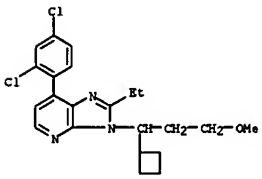
I

AB A series of high affinity CRF receptor ligands, e.g., I, with an imidazo[4,5-b]pyridine core is described. Individual analogs were synthesized and tested in a rat CRF receptor binding assay. The best compds. were further tested in the dog N-in-1 pharmacokinetic model to assess plasma levels at 1 mg/kg (po) and in the rat situational anxiety model to assess anxiolytic efficacy at 3 mg/kg (po). The structure-activity relationships for good receptor binding affinity are described herein.
IT 512847-71-1P 512847-72-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, CRF receptor affinity, and SAR of arylimidazopyridines via debenzylation of aryl(nitro)benzyloxypyridines followed by chlorination, amination with aliphatic amines and cyclization with carboxylic acid derivs.)
RN 512847-71-1 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 3-(1-cyclopropyl-3-methoxypropyl)-7-(2,4-dichlorophenyl)-2-ethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 512847-72-2 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 3-(1-cyclobutyl-3-methoxypropyl)-7-(2,4-dichlorophenyl)-2-ethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

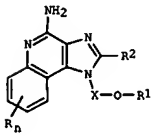
L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:449680 CAPLUS
DOCUMENT NUMBER: 137:33296
TITLE: Preparation of aryl ether substituted imidazoquinolines as immune response modifiers
INVENTOR(S): Charles, Leslie J.; Dellaria, Joseph F.; Heppner, Philip D.; Merrill, Bryon A.; Mickelson, John W.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCT Int. Appl., 184 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046189	A2	20020613	WO 2001-US46581	20011206
WO 2002046189	A3	20030320		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2430844	AA	20020613	CA 2001-2430844	20011206
AU 2002039516	A5	20020618	AU 2002-39516	20011206
US 2003065005	A1	20030403	US 2001-11921	20011206
US 6664260	B2	20031216		
EP 1341789	A2	20030910	EP 2001-987282	20011206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300270	A	20031015	EE 2003-270	20011206
CN 1511155	A	20040707	CN 2001-820159	20011206
JP 2004523498	T2	20040805	JP 2002-547926	20011206
CN 1537111	A	20041013	CN 2001-819907	20011206
NZ 526105	A	20041126	NZ 2001-526105	20011206
EP 1541572	A1	20050615	EP 2005-4019	20011206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI, CY, TR				
PT 1341791	T	20050930	PT 2001-987297	20011206
CZ 295848	B6	20051116	CZ 2003-1560	20011206
ES 2242782	T3	20051116	ES 2001-1987297	20011206
TW 584633	B	20040421	TW 2001-90130401	20011207
TW 222972	B1	20041101	TW 2001-90130402	20011207
NO 2003002452	A	20030716	NO 2003-2452	20030528
ZA 2003005270	A	20040826	ZA 2003-5270	20030708
ZA 2003005271	A	20041008	ZA 2003-5271	20030708
ZA 2003005273	A	20041008	ZA 2003-5273	20030708
ZA 2003005275	A	20041008	ZA 2003-5275	20030708
ZA 2003005274	A	20041018	ZA 2003-5274	20030708
ZA 2003005272	A	20041027	ZA 2003-5272	20030708
US 2004072858	A1	20040415	US 2003-675833	20030930

L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 2005148619	A1	20050707	US 2005-69033	20050228
US 2005209267	A1	20050922	US 2005-132537	20050519
US 2005234088	A1	20051020	US 2005-132900	20050519
PRIORITY APPLN. INFO.:			US 2000-254218P	P 20001208
			EP 2001-987297	A3 20011206
			US 2001-11921	A1 20011206
			US 2001-12599	A1 20011206
			US 2001-13059	A1 20011206
			US 2001-13060	A1 20011206
			WO 2001-US46581	W 20011206
			US 2003-680989	A3 20031007
			US 2003-696476	A3 20031029
			US 2003-696684	A3 20031029

OTHER SOURCE(S): MARPAT 137:33296
GI



I

AB The title compds. [I; X = (CH2)2, CHEtCH2, etc.; R1 = alkenyl, aryl, R4-aryl; R2 = H, alkyl, alkenyl, etc.; R4 = alkyl, alkenyl which may be interrupted by one or more O atoms; R3 = H, alkyl; n = 0-4; R = alkyl, alkoxy, OH, etc.] that contain ether and aryl or alkenyl functionality at the 1-position, and are useful as immune response modifiers, were prepared E.g., a multi-step synthesis of I [X = (CH2)2; R1 = CH2C.tplbond.CH; R2 = H; n = 0] which showed the lowest concentration of 0.12 μM and 1.11 μM to induce interferon α and TNFα, resp., was given. The compds. I can induce the biosynthesis of various cytokines and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases.

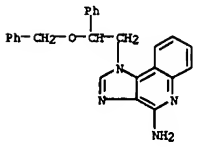
IT 437601-19-9P 437601-21-3P 437601-23-5P 437601-25-7P 437601-27-9P 437602-19-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl ether substituted imidazoquinolines as immune response modifiers)

RN 437601-19-9 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-phenyl-2-(phenylmethoxy)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 437601-18-8
CMF C25 H22 N4 O

L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



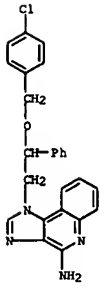
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 437601-21-3 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-[(4-chlorophenyl)methoxy]-2-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 437601-20-2
CMF C25 H21 Cl N4 O



L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

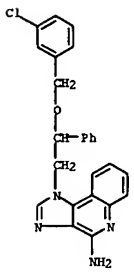
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 437601-23-5 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-[(3-chlorophenyl)methoxy]-2-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 437601-22-4
CMF C25 H21 Cl N4 O



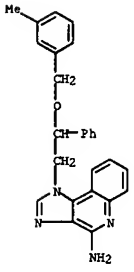
CM 2

CRN 76-05-1
CMF C2 H F3 O2

L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-[(3-methylphenyl)methoxy]-2-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 437601-26-8
CMF C26 H24 N4 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 437602-19-2 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-(4-phenoxybutoxy)-2-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

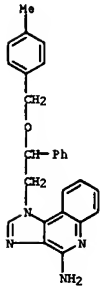
CRN 437602-18-1
CMF C28 H28 N4 O2

L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 437601-25-7 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-[(4-methylphenyl)methoxy]-2-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 437601-24-6
CMF C26 H24 N4 O

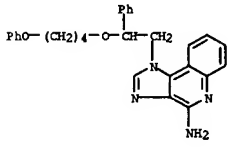


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 437601-27-9 CAPLUS

L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



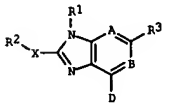
CM 2

CRN 76-05-1
CMF C2 H F3 O2

L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:453067 CAPLUS
DOCUMENT NUMBER: 135:46200
TITLE: Synthesis and use of imidazopyrimidines and imidazopyridines as corticotropin releasing factor (CRF) antagonists
INVENTOR(S): Wilde, Richard G.; Bakthavatchalam, Rajagopal; Beck, James P.; Arvanitis, Argyrios
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044240	A1	20010621	WO 2000-US34201	20001215
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2392992	AA	20010621	CA 2000-2392992	20001215
EP 1244666	A1	20021002	EP 2000-991411	20001215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003516992	T2	20030520	JP 2001-544738	20001215
US 2004229887	A1	20041118	US 2000-738666	20001215
PRIORITY APPLN. INFO.:			US 1999-172262P P	19991217
			WO 2000-US34201 W	20001215

OTHER SOURCE(S): MARPAT 135:46200
GI



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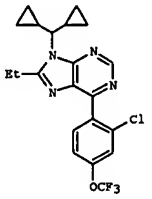
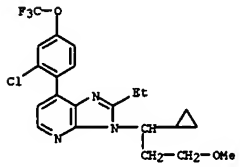


II

AB Compds. I are claimed [wherein; A = N or CR7 and B = N or CR8 provided at least A or B = N; D = (hetero)aryl (e.g. Ph, naphthyl, pyridyl, pyrimidyl, triazinyl, furanyl, etc.) substituted with 1-2 haloalkoxy groups and optionally substituted with 1-2 groups chosen from (cyclo)alkyl, alken(yn)yl, halo, etc.; X = CHR9, NR10, O, S(O)n or a bond; n = 0, 2 or 3; R1 = H, alk(en/yn)yl, cycloalkyl, alkoxy, etc.; R2 = (cyclo)alkyl; R3, R7, R8 = H, (cyclo)alkyl, alkoxy, alkylthio, alkylsulfinyl, etc.; R9, R10 = H or (cyclo)alkyl]. Fifty-seven synthetic examples are given. For instance, 5-amino-4,6-dichloropyrimidine was reacted with dicyclopropylmethylamine hydrochloride in the presence of base to give 5-amino-4-chloro-6-(dicyclopropylmethylamino)pyrimidine. This diamine was converted to the O-Et propionimidate deriv. and heated in di-Ph ether to affect cyclization to the 6-chloro-9-(dicyclopropylmethyl)-8-ethylpurine. The intermediate purine was coupled to 2-chloro-4-(trifluoromethoxy)benzeneboronic acid to give II. Compds. of the invention antagonize CRF and are useful in the treatment of a variety of disorders characterized by excessive CRF expression (no data). Several of the uses claimed are affective disorder, anxiety, depression, post-traumatic stress disorder, etc.

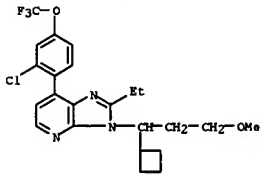
IT **345225-98-1P 345225-99-2P 345226-03-1P 345226-06-4P 345226-07-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and use of imidazopyrimidines and imidazopyridines as CRF antagonists)

RN 345225-98-1 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 7-[2-chloro-4-(trifluoromethoxy)phenyl]-3-(1-cyclopropyl-3-methoxypropyl)-2-ethyl- (9CI) (CA INDEX NAME)

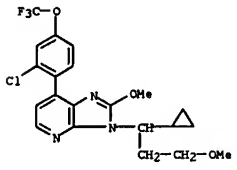


RN 345225-99-2 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 7-[2-chloro-4-(trifluoromethoxy)phenyl]-3-(1-cyclobutyl-3-methoxypropyl)-2-ethyl- (9CI) (CA INDEX NAME)

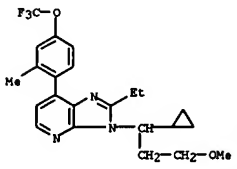
L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345226-03-1 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 7-[2-chloro-4-(trifluoromethoxy)phenyl]-3-(1-cyclopropyl-3-methoxypropyl)-2-methoxy- (9CI) (CA INDEX NAME)

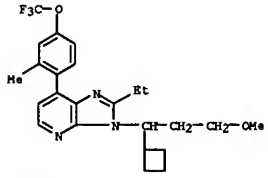


RN 345226-06-4 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 3-(1-cyclopropyl-3-methoxypropyl)-2-ethyl-7-[2-methyl-4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 345226-07-5 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 3-(1-cyclobutyl-3-methoxypropyl)-2-ethyl-7-[2-methyl-4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:12448 CAPLUS
DOCUMENT NUMBER: 134:86251
TITLE: Preparation of benzimidazoles as respiratory syncytial virus replication inhibitors.
INVENTOR(S): Janssens, Frans Eduard; Lacrampe, Jean Fernand Armand; Guillemont, Jerome Emile Georges; Venet, Marc Gaston; Andries, Koenraad Jozef Lodewijk Marcel
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000615	A1	20010104	WO 2000-EP5677	20000620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2376785	AA	20010104	CA 2000-2376785	20000620
BR 2000011997	A	20020305	BR 2000-11997	20000620
EP 1196410	A1	20020417	EP 2000-936899	20000620
EP 1196410	B1	20040218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200103805	T2	20020621	TR 2001-200103805	20000620
JP 2003503403	T2	20030128	JP 2001-507023	20000620
EE 200100694	A	20030217	EE 2001-694	20000620
AT 259796	E	20040315	AT 2000-936899	20000620
EP 1400519	A1	20040324	EP 2003-102464	20000620
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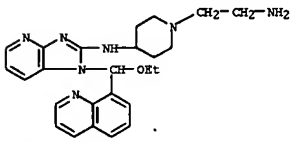
NZ 515392	A	20040326	NZ 2000-515392	20000620
AU 774829	B2	20040708	AU 2000-52222	20000620
PT 1196410	T	20040730	PT 2000-936899	20000620
ES 2215670	T3	20041016	ES 2000-936899	20000620
TR 200500707	T2	20050421	TR 2005-200500707	20000620
HR 2001000934	A1	20030630	HR 2001-934	20011219
ZA 2001010473	A	20030320	ZA 2001-10473	20011220
NO 2001006370	A	20011227	NO 2001-6370	20011227
BG 106288	A	20021031	BG 2002-106288	20020108
HK 1045998	A1	20050603	HK 2002-107623	20021021
PRIORITY APPLN. INFO.:			EP 1999-202089 A	19990628
			EP 2000-936899 A3	20000620
			WO 2000-EP5677 W	20000620

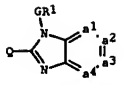
OTHER SOURCE(S): MARPAT 134:86251
GI

L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



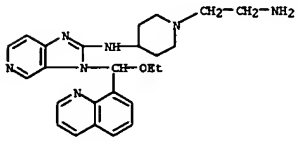
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

AB Title compds. (I; a1:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N; Q = R2R4NAX1, R2R4NCOAX1, specified (substituted) (hetero)cycles; A = (substituted) alkylene; X1 = imino, S, SO, SO2, O, CH2, CO, CH(OH), etc.; R1 = (substituted) bicyclic heterocycle; G = bond, (substituted) alkylene; R2 = H, CHO, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, etc.; R4 = H, alkyl, aralkyl], were prepared Thus, 1-[4-[[1-(2-quinolylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]-3-methyl-2-butanone was hydrogenated with PhCH2NH2 in MeOH over Pd/C to give N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2-quinolylmethyl)-1H-benzimidazol-2-amine and N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(1,2,3,4-tetrahydro-2-quinolyl)methyl]-1H-benzimidazol-2-amine tetrahydrochloride. Tested I inhibited respiratory syncytial virus replication with IC50 = 0.0004-1.5849 μM.
IT 317587-33-0P 317591-27-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)
RN 317587-33-0 CAPLUS
CN 3H-Imidazo[4,5-c]pyridin-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-3-(ethoxy-8-quinolinylmethyl)- (9CI) (CA INDEX NAME)



RN 317591-27-8 CAPLUS
CN 1H-Imidazo[4,5-b]pyridin-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(ethoxy-8-quinolinylmethyl)- (9CI) (CA INDEX NAME)

own work

L4 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:12445 CAPLUS
DOCUMENT NUMBER: 134:86249
TITLE: Preparation of benzimidazoles as respiratory syncytial virus replication inhibitors.
INVENTOR(S): Janssens, Frans Eduard; Meersman, Kathleen Petrus Marie-Jose; Sommen, Francois Maria; Andries, Koenraad Jozef Lodewijk Marcel
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000612	A2	20010104	WO 2000-EP5675	20000620
WO 2001000612	A3	20010329		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2376676	AA	20010104	CA 2000-2376676	20000620
BR 2000012047	A	20020312	BR 2000-12047	20000620
EP 1196409	A2	20020417	EP 2000-943840	20000620
EP 1196409	B1	20040204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200103806	T2	20020621	TR 2001-200103806	20000620
JP 2003503402	T2	20030128	JP 2001-507021	20000620
EE 200100688	A	20030415	EE 2001-688	20000620
NZ 515664	A	20040130	NZ 2000-515664	20000620
AT 258928	E	20040215	AT 2000-943840	20000620
PT 1196409	T	20040630	PT 2000-943840	20000620
ES 2215683	T3	20041016	ES 2000-943840	20000620
AU 778218	B2	20041125	AU 2000-58166	20000620
HR 2001000935	A1	20030630	HR 2001-935	20011219
ZA 2001010479	A	20030320	ZA 2001-10479	20011220
NO 2001006369	A	20020220	NO 2001-6369	20011227
US 6747028	B1	20040608	US 2001-19380	20011227
BG 106286	A	20021031	BG 2002-106286	20020108
PRIORITY APPLN. INFO.:			EP 1999-202088 A	19990628
			WO 2000-EP5675 W	20000620

OTHER SOURCE(S): MARPAT 134:86249
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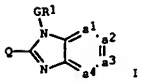
L4 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

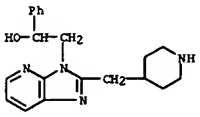
AB Title compds. I; [a1:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N; Q = R2R4NAX1, R2R4NCOAX1, specified (substituted) (hetero)cyclyl; A = (substituted) alkanediyl; X1 = imino, S, SO, SO2, O, CH2, CO, CH(OH), etc.; R1 = (substituted) monocyclic heterocyclyl, aryl; R2 = H, formyl, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, cycloalkyl, substituted alkyl; R4 = H, alkyl, aralkyl], were prepared Thus, 1-[ethoxy(2-pyridinyl)methyl]-N-[1-(phenylmethyl)-4-piperidinyl]-1H-benzimidazol-2-amine was hydrogenated in MeOH over Pd/C to give 1-[ethoxy(2-pyridinyl)methyl]-N-(4-piperidinyl)-1H-benzimidazol-2-amine. Tested I inhibited respiratory syncytial virus replication with IC50 = 0.00032-1.2589 μM.

IT 317384-54-6P 317384-64-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317384-54-6 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine-3-ethanol, α-phenyl-2-(4-piperidinylmethyl)-, ethanedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

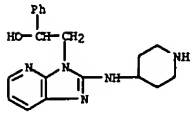
CRN 317384-53-5
CMF C20 H24 N4 O



CM 2

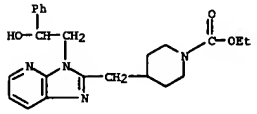
CRN 144-62-7
CMF C2 H2 O4

RN 317384-64-8 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine-3-ethanol, α-phenyl-2-(4-piperidinylamino)- (9CI) (CA INDEX NAME)

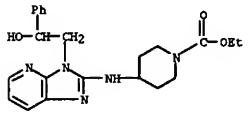


IT 317384-97-7P 317385-02-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317384-97-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[3-(2-hydroxy-2-phenylethyl)-3H-imidazo[4,5-b]pyridin-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 317385-02-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[3-(2-hydroxy-2-phenylethyl)-3H-imidazo[4,5-b]pyridin-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:666728 CAPLUS
DOCUMENT NUMBER: 133:252457
TITLE: Preparation of heterocyclic compounds as adenosine deaminase inhibitors useful in treating and/or preventing autoimmune diseases and inflammatory conditions
INVENTOR(S): Terasaka, Tadashi; Seki, Nobuo; Tsuji, Kiyoshi; Nakanishi, Isao; Kinoshita, Takayoshi; Nakamura, Katsuya
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055155	A2	20000921	WO 2000-JP1316	20000303
WO 2000055155	A3	20010322		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000028291	A5	20001004	AU 2000-28291	20000303
EP 1161429	A2	20011212	EP 2000-906702	20000303
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002539209	T2	20021119	JP 2000-605584	20000303
US 6596738	B1	20030722	US 2001-926134	20010907
PRIORITY APPLN. INFO.:			AU 1999-9212 A	19990315
			WO 2000-JP1316 W	20000303

OTHER SOURCE(S): MARPAT 133:252457
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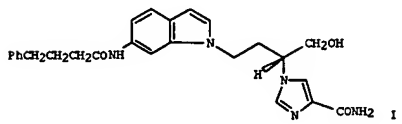


I

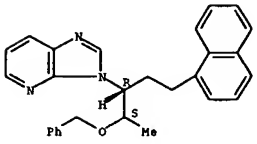
AB Title compds. [WACHZCR1R2OX; R1 = H, lower alkyl; R2 = H, lower alkyl; X = H, hydroxy protective group, lower alkanoyl, hydroxyiminoalkyl; A = lower alkylene; W = heterocyclic, carbocyclic; Z = heterocyclic (selected from the group consisting of imidazolyl, triazolyl, imidazopyridyl, adenyl,

L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
each of which may have one or more substituent(s)) provided that when W is aryl which may have one or more substituent(s), then (a) Z is triazolyl, imidazopyridyl, adenyl, each of which may have one or more substituent(s); (b) Z is imidazolyl which may have one or more substituent(s) and B is lower alkanoyl, hydroxyiminoalkyl; (c) Z is imidazolyl which may have one or more substituent(s) and R1 and R2 are both lower alkyl] and pharmaceutically acceptable salts thereof are prepd. as adenosine deaminase inhibitors which are useful in treating and/or preventing autoimmune diseases, inflammatory conditions, organ or tissue allo or xeno transplant rejection, various leukemias, diseases that arise from, or are aggravated by, insufficient blood flow through a particular organ or portion thereof, which comprises administering an effective amt. of a title compd. to human or animals. Thus, the title compd. I was prepd. and tested by adenosine deaminase enzyme assay.

IT **294862-83-2P 294863-62-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as adenosine deaminase inhibitors useful in treating and/or preventing autoimmune diseases and inflammatory conditions)

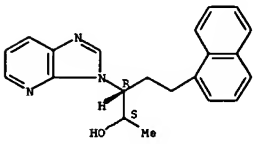
RN 294862-83-2 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 3-[(1R,2S)-1-[2-(1-naphthalenyl)ethyl]-2-(phenylmethoxy)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 294863-62-0 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine-3-ethanol, α-methyl-β-[2-(1-naphthalenyl)ethyl]-, (αS,βR)- (9CI) (CA INDEX NAME)

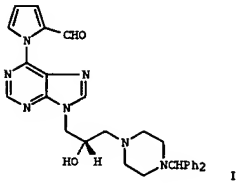
Absolute stereochemistry. Rotation (+).



L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:665444 CAPLUS
DOCUMENT NUMBER: 123:111729
TITLE: Synthesis and Structure-Activity Relationships of 6-Heterocyclic-Substituted Purines as Inactivation Modifiers of Cardiac Sodium Channels
AUTHOR(S): Estep, Kimberly G.; Josef, Kurt A.; Bacon, Edward R.; Carabateas, Philip M.; Rumney, Squire, IV; Pilling, Garry M.; Krafte, Douglas S.; Volberg, Walter A.; Dillon, Kathleen; et al.
CORPORATE SOURCE: Department of Medicinal Chemistry, Sterling Winthrop Pharmaceuticals Research Division, Collegeville, PA, 19426-0900, USA
SOURCE: Journal of Medicinal Chemistry (1995), 38(14), 2582-95
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



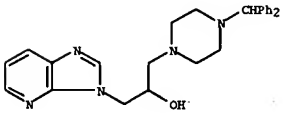
I

AB Purine-based analogs of SDZ 211-500 were prepared and evaluated as inactivation modifiers of guinea pig or human cardiac sodium (Na) channels expressed in Xenopus oocytes. Substances which remove or slow the Na channel inactivation process in cardiac tissue are anticipated to prolong the effective refractory period and increase inotropy and thus have potential utility as antiarrhythmic agents. Heterocyclic substitution at the 6-position of the purine ring resulted in compds. with increased Na activity and potency, with 5-membered heterocycles being optimal. Only minor modifications to the benzhydrylpiperazine side chain were tolerated. Selected compds. which delayed the inactivation of Na channels were found to increase refractoriness and contractility in a rabbit Langendorff heart model, consistent with the cellular mechanism. Activity in both the oocyte and rabbit heart assays was specific to the S-enantiomers. Preliminary in vivo activity has been demonstrated following i.v. infusion. The most promising compound on the basis of in vitro data is the formylpyrrole (S)-I, which is 25-fold more potent than DPI 201-106 in the human heart Na channel assay.

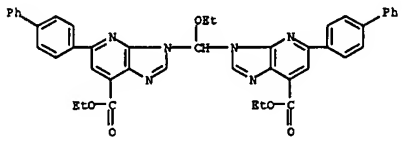
IT **165546-27-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and structure activity relationship of heterocyclic pyridine derivs)

L4 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

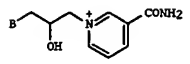
RN 165546-27-0 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine-3-ethanol, α-[[4-(diphenylmethyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:658526 CAPLUS
DOCUMENT NUMBER: 123:256654
TITLE: Polyfunctional pyridines from nitroacetamidine and β-diketones. A useful synthesis of substituted imidazo[4,5-b]pyridines and related compounds
AUTHOR(S): Batt, Douglas G.; Houghton, Gregory C.
CORPORATE SOURCE: Chemical and Physical Sciences, The Du Pont Merck Pharmaceutical Company, Wilmington, DE, 19880-0353, USA
SOURCE: Journal of Heterocyclic Chemistry (1995), 32(3), 963-9
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:256654
AB Nitroacetamidine undergoes a useful cyclocondensation with β-diketones to produce substituted 2-amino-3-nitropyridines. Use of an acylpyruvate generates hitherto unreported 2-amino-3-nitropyridine-4-carboxylates. These may be converted easily to functionalized imidazo[4,5-b]pyridines and oxazolo[5,4-b]pyridines. Thus, 6-(1,1'-biphenyl-4-yl)-2-hydroxy-3-nitro-4-pyridinecarboxylic acid Et ester was prepared and converted into 5-([1,1'-biphenyl]-4-yl)azolo[5,4-b]pyridine-7-carboxylic acid Et ester.
IT 168840-15-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of polyfunctional pyridines from nitroacetamidine and β-diketones)
RN 168840-15-1 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine-7-carboxylic acid, 3,3'-(ethoxymethylene)bis[5-[1,1'-biphenyl]-4-yl-, diethyl ester (9CI) (CA INDEX NAME)

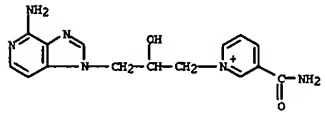


L4 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:512695 CAPLUS
DOCUMENT NUMBER: 123:144480
TITLE: Synthesis of base-modified "abbreviated" NAD analogs
AUTHOR(S): Juricova, Kristina; Smrckova, Svatava; Holy, Antonin
CORPORATE SOURCE: Dep. Org. Chem., Prague Inst. Chem. Technology, Prague, 166 28, Czech Rep.
SOURCE: Collection of Czechoslovak Chemical Communications (1995), 60(2), 237-50
CODEN: CCCCAK; ISSN: 0010-0765
PUBLISHER: Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:144480
GI



I

AB The "abbreviated" model of NAD analogs I (B = adenine, 3-deazaadenine, guanine, cytosine, 2,6-diaminopurine) were prepared by the Zincke reaction. The (R)-isomer of the adenine model VIIIa (compound IX) was prepared for chiroptical studies. As shown by NMR, UV and CD spectra, neither in DMSO nor in water any intramol. π-π interactions exist between the heterocarom. systems.
IT 165967-33-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of base-modified NAD analogs via Zincke condensation of carbamoylpyridinium chloride with nucleosides)
RN 165967-33-9 CAPLUS
CN Pyridinium, 3-(aminocarbonyl)-1-[3-(4-amino-1H-imidazo[4,5-c]pyridin-1-yl)-2-hydroxypropyl]-, chloride (9CI) (CA INDEX NAME)



● Cl⁻

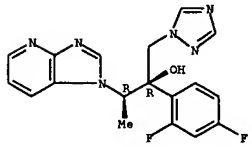
L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:54544 CAPLUS
DOCUMENT NUMBER: 120:54544
TITLE: Optically active antifungal azoles, their production and use
INVENTOR(S): Itoh, Katsumi; Okonogi, Kenji; Tamura, Norikazu
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 82 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 548553	A1	19930630	EP 1992-119855	19921121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 62574	A2	19930528	HU 1992-3661	19921123
CA 2083679	AA	19930526	CA 1992-2083679	19921124
NO 9204522	A	19930526	NO 1992-4522	19921124
AU 9228592	A1	19940331	AU 1992-28592	19921124
AU 653082	B2	19940915		
US 5371100	A	19941206	US 1992-981850	19921124
CN 1072680	A	19930602	CN 1992-113352	19921125
JP 06049033	A2	19940222	JP 1992-315442	19921125
JP 3415865	B2	20030609		
ZA 9209146	A	19940525	ZA 1992-9146	19921125
CN 1075143	A	19930811	CN 1993-100010	19930101
US 5495024	A	19960227	US 1994-302411	19940908
PRIORITY APPLN. INFO.:			JP 1991-309069 A	19911125
			JP 1992-19888 A	19920205
			JP 1992-145510 A	19920605
			US 1992-981850 A3	19921124
			JP 1992-315442 A	19921125

OTHER SOURCE(S): MARPAT 120:54544
GI For diagram(s), see printed CA Issue.
AB The title azoles I [ring A = N-containing aromatic 5-membered heterocyclic ring having ≥2 adjacent N atoms or an aromatic condensed heterocyclic group having ≥2 N atoms; Q = CH, N; R1, R2 = H, halogen, haloalkyl, haloalkoxy, (un)substituted N-containing heterocyclic group; R3 = H, acyl group; both asym. centers are in the R configuration; R1R2 = (un)substituted N-containing heterocyclic group when ring A is an 1H-1,2,4-triazol-1-yl group], which have high antimycotic activity with reduced side effects, are prepared Thus, 1H-1,2,4-triazole was reacted with NaH and (2S)-2-(2,4-difluorophenyl)-2-[(1R)-1-(2H-tetrazol-2-yl)ethyl]oxirane, producing (2R, 3R)-II, which demonstrated 50% ED when administered orally to 5-wk-old mice, which were inoculated with the min. LD of Candida albicans, of 0.10 mg/kg.
IT 150803-46-5P 150803-47-7P 150803-48-8P
150803-49-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antimycotic activity of)
RN 150803-46-5 CAPLUS
CN 1H-Imidazo[4,5-b]pyridine-1-ethanol, α-(2,4-difluorophenyl)-β-methyl-α-(1H-1,2,4-triazol-1-ylmethyl)-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

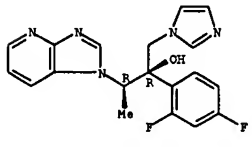
Absolute stereochemistry.

L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



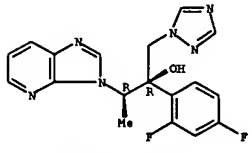
RN 150803-47-7 CAPLUS
CN 1H-Imidazo[4,5-b]pyridine-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-imidazol-1-ylmethyl)-β-methyl-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 150803-48-8 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine-3-ethanol, α-(2,4-difluorophenyl)-β-methyl-α-(1H-1,2,4-triazol-1-ylmethyl)-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

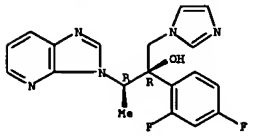
Absolute stereochemistry.



RN 150803-49-9 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine-3-ethanol, α-(2,4-difluorophenyl)-α-(1H-imidazol-1-ylmethyl)-β-methyl-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

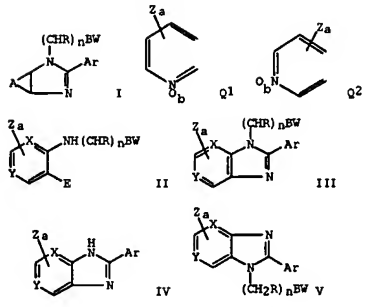
ACCESSION NUMBER: 1989:75494 CAPLUS
DOCUMENT NUMBER: 110:75494
TITLE: Preparation of imidazopyridines as central nervous system agents
PATENT ASSIGNEE(S): A. H. Robins Co., Inc., USA
SOURCE: Jpn. Kokai Tokkyo Koho, 164 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62292782	A2	19871219	JP 1987-143961	19870609
US 4772600	A	19880920	US 1986-871772	19860609
IL 82574	A1	19930513	IL 1987-82574	19870519
ZA 8703783	A	19880427	ZA 1987-3783	19870526
AU 8773830	A1	19871210	AU 1987-73830	19870604
AU 609720	B2	19910509		
DK 8702887	A	19871210	DK 1987-2887	19870604
NO 8702372	A	19871210	NO 1987-2372	19870605
FI 8702566	A	19871210	FI 1987-2566	19870608
HU 44545	A2	19880328	HU 1987-2617	19870608
HU 199466	B	19900228		
CA 1295329	A1	19920204	CA 1987-539043	19870608
EP 255217	A1	19880203	EP 1987-305078	19870609
EP 255217	B1	19920122		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 71945	E	19920215	AT 1987-305078	19870609
US 4824951	A	19890425	US 1988-215465	19880705
US 4873251	A	19891010	US 1988-215170	19880705
US 4948800	A	19900814	US 1989-374211	19890630
US 4914109	A	19900403	US 1989-384618	19890725

PRIORITY APPLN. INFO.: US 1986-871772 A 19860609
EP 1987-305078 A 19870609
US 1988-215170 A3 19880705

OTHER SOURCE(S): CASREACT 110:75494
GI

L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

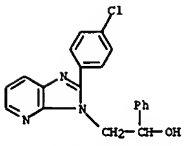


AB Title compds. I [A = Q1 (where N is either at 4 or 7-position of imidazopyridine), Q2 (where N is either at 5- or 6-position) where Z = H, halo, alkyl, OH, alkoxy, dialkylamino, NO2, a = 1, 2, b = 0, 1; n = 1-3; R = H, alkyl; Ar = (substituted) Ph, (substituted) 2-, 3-, or 4-pyridyl, (substituted) furanyl, (substituted)thienyl; B = CO, CS, CHOH; W = H, alkyl, (substituted) Ph, (substituted) phenylalkyl, hydroxyalkoxy, OH, alkoxy, (substituted) PhO, (substituted)phenylalkoxy, (substituted)amino (including heterocyclyl), OM where M = pharmaceutically acceptable metal; excluding W = H, alkyl, (substituted) Ph, (substituted)phenylalkyl when B = CHOH, and W = (substituted)Ph, (substituted)phenylalkyl, alkyl, OH, OM, alkoxy, (substituted)PhO, (substituted) phenylalkyl, alkoxyalkoxy, hydroxyalkoxy when B = CS], their optical isomers, oxides, and pharmaceutically acceptable acid-addition salts (including hydrates and quaternary salts) are prepared, e.g. by cyclocondensation of a diaminopyridine derivative II (E = NHCOAr; when X = N, Y = CH or vice versa) to an imidazopyridine derivative III or alkylation of an imidazopyridine derivative IV (X, Y = same as III) with WB(CHR)n halo (B = CO, CHOH) to an imidazopyridine derivative V. A suspension of 2-(4-chlorophenyl)-3H-imidazo[4,5-b]pyridine-3-acetic acid and 1,1'-carbonyldiimidazole in THF was refluxed for 2.5 h, MeNH2 was added to the cooled reaction mixture and the mixture was stirred at room temperature overnight to give 47.5% III [Ar = 4-ClC6H4; X = N; Y = CH; Za = H; (CHR)n = CH2; BW = CONHMe], which showed .apprx.10 mg/kg i.p. ED50 of muscle relaxation in morphine-treated mice. A capsule was formulated containing I 5.0, lactose 296.7, starch 129.0, and Mg stearate 4.3 mg.

IT 118697-37-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as central nervous system agent)

RN 118697-37-3 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine-3-ethanol, 2-(4-chlorophenyl)-α-phenyl-

L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



IT 118699-23-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of imidazopyridine central nervous system agents)

RN 118699-23-3 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine-3-ethanol, 2-(4-chlorophenyl)-β-phenyl-
(9CI) (CA INDEX NAME)